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                EXTEND option available in structure searching
NEWS 3 May 12
                 Polymer links for the POLYLINK command completed in REGISTRY
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        May 27
                 SDIs in CAplus
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      6
     7
                 Additional enzyme-catalyzed reactions added to CASREACT
NEWS
         Jun 28
                ANTE, AQUALINE, BIOENG, CIVILENG, ENVIROENG, MECHENG,
NEWS
     8
         Jun 28
                 and WATER from CSA now available on STN(R)
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                BEILSTEIN enhanced with new display and select options,
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                 resulting in a closer connection to BABS
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         Jul 30
                BEILSTEIN on STN workshop to be held August 24 in conjunction
                 with the 228th ACS National Meeting
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                 IFIPAT/IFIUDB/IFICDB reloaded with new search and display
                 fields
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         AUG 02
                CAplus and CA patent records enhanced with European and Japan
                 Patent Office Classifications
NEWS 13
         AUG 02
                 STN User Update to be held August 22 in conjunction with the
                 228th ACS National Meeting
                 The Analysis Edition of STN Express with Discover!
NEWS 14
         AUG 02
                 (Version 7.01 for Windows) now available
NEWS 15
         AUG 04
                Pricing for the Save Answers for SciFinder Wizard within
                 STN Express with Discover! will change September 1, 2004
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AND CURRENT DISCOVER FILE IS DATED 11 AUGUST 2004

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L1 STRUCTURE UPLOADED

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G5 NH, NH2, Cy, Hy

Structure attributes must be viewed using STN Express query preparation.

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FULL SEARCH INITIATED 14:07:15 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 1134 TO ITERATE

100.0% PROCESSED 1134 ITERATIONS

25 ANSWERS

SEARCH TIME: 00.00.01

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SINCE FILE TOTAL ENTRY SESSION 155.42 155.63

FULL ESTIMATED COST

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This file contains CAS Registry Numbers for easy and accurate substance identification.

=> s 12

L3 3 L2

=> d 13 fbib hitstr ans total
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DMAX ----- MAX, delimited for post-processing

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FBIB ----- AN, BIB, plus Patent FAM

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IND ----- Indexing data
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SAM ----- CC, SX, TI, ST, IT
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IBIB ----- BIB, indented with text labels
IMAX ----- MAX, indented with text labels
ISTD ----- STD, indented with text labels
OBIB ----- AN, plus Bibliographic Data (original)
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SBIB ----- BIB, no citations
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             containing hit terms
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HITSEQ ----- HIT RN, its text modification, its CA index name, its
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FHITSTR ---- First HIT RN, its text modification, its CA index name, and
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FHITSEQ ---- First HIT RN, its text modification, its CA index name, its
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L3 ANSWER 1 OF 3 CAPLUS COPYRIGHT 2004 ACS on STN
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AN 2002:10473 CAPLUS

DN 136:69824

- TI Preparation of heterocycle compounds as melanocortin receptor ligands
- IN Carpino, Philip Albert; Cole, Bridget McCarthy; Morgan, Bradley Paul
- PA Pfizer Products Inc., USA
- SO PCT Int. Appl., 108 pp.

CODEN: PIXXD2

- DT Patent
- LA English

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OS
                THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD
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     ANSWER 2 OF 3 CAPLUS COPYRIGHT 2004 ACS on STN
L3
     2001:885763 CAPLUS
ΑN
DN
     136:15253
     Melanocortin receptor agonists, and preparation thereof, for therapeutic
ΤI
IN
     Bakshi, Raman Kumar; Nargund, Ravi P.; Ye, Zhixiong
PΑ
     Merck & Co., Inc., USA
SO
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Patel <8/25/2004>

WO 2001-US17014 20010525 os MARPAT 136:15253 RE.CNT 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT L_3 ANSWER 3 OF 3 CAPLUS COPYRIGHT 2004 ACS on STN ΑN 2000:151487 CAPLUS DN 132:203148 ΤI Heterocycle-containing dipeptide compounds as growth hormone secretagogues, their preparation, compositions containing them, and their applications Carpino, Philip Albert IN PA Pfizer Products Inc., USA SO Jpn. Kokai Tokkyo Koho, 94 pp. CODEN: JKXXAF DTPatent LA Japanese FAN.CNT 1 PATENT NO. KIND DATE APPLICATION NO. DATE --------------_____ _____ PΙ JP 2000072771 20000307 A2 JP 1999-234704 19990820 JP 3486137 B2 20040113 US 6358951 B1 20020319 US 1999-377326 19990818 EP 995748 Α1 20000426 EP 1999-306576 19990819 EP 995748 B1 20040331 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO AT 263168 20040415 \mathbf{E} AT 1999-306576 19990819 BR 9903870 Α 20001003 BR 1999-3870 19990820 MX 9907844 20000331 MX 1999-7844 Α 19990823 20020418 US 2002045622 A1 US 2001-989040 20011121 US 6559150 B2 20030506 US 2003130284 A1 20030710 US 2002-313495 20021206 US 6686359 B2 20040203 PRAI US 1998-97502P Р 19980821 US 1999-377326 **A**3 19990818 US 2001-989040 A3 20011121 MARPAT 132:203148 => d l3 fbib hitstr abs total ANSWER 1 OF 3 CAPLUS COPYRIGHT 2004 ACS on STN L3AN 2002:10473 CAPLUS DN 136:69824 Preparation of heterocycle compounds as melanocortin receptor ligands TI Carpino, Philip Albert; Cole, Bridget McCarthy; Morgan, Bradley Paul IN PA Pfizer Products Inc., USA SO PCT Int. Appl., 108 pp. CODEN: PIXXD2 DTPatent LΑ English FAN.CNT 1 PATENT NO. KIND DATE APPLICATION NO. DATE _ _ _ _ -----------WO 2001-IB995 PΤ WO 2002000654 **A**1 20020103 20010531 W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,

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OS MARPAT 136:69824

IT 384345-15-7P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(intermediate; preparation of heterocycle compds. as melanocortin receptor ligands and therapeutic agents for treatment of prevention of obesity, diabetes mellitus, male or female sexual dysfunction)

RN 384345-15-7 CAPLUS

CN 2(1H)-Isoquinolinecarboxylic acid, 3-[[[(1R)-1-[(4-chlorophenyl)methyl]-2-[2,3,3a,4,6,7-hexahydro-2-methyl-3-oxo-3a-(phenylmethyl)-5H-pyrazolo[4,3-c]pyridin-5-yl]-2-oxoethyl]amino]carbonyl]-3,4-dihydro-, 1,1-dimethylethylester, (3S)- (9CI) (CA INDEX NAME)

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IT
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     384345-27-1P 384345-28-2P 384345-29-3P
     384345-30-6P
     RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
     (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
      (Uses)
         (preparation of heterocycle compds. as melanocortin receptor ligands and
        therapeutic agents for treatment of prevention of obesity, diabetes
        mellitus, male or female sexual dysfunction)
RN
     384345-14-6 CAPLUS
CN
     3-Isoquinolinecarboxamide, N-[(1R)-1-[(4-chlorophenyl)methyl]-2-
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     (9CI) (CA INDEX NAME)
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Absolute stereochemistry.

•x HCl

RN 384345-16-8 CAPLUS
CN 3-Isoquinolinecarboxamide, N-[(1R)-1-[(4-chlorophenyl)methyl]-2[2,3,3a,4,6,7-hexahydro-2-methyl-3-oxo-3a-(phenylmethyl)-5H-pyrazolo[4,3-c]pyridin-5-yl]-2-oxoethyl]-1,2,3,4-tetrahydro-, hydrochloride, (3R)(9CI) (CA INDEX NAME)

•x HCl

RN 384345-17-9 CAPLUS

CN 3-Isoquinolinecarboxamide, N-[(1R)-1-[(4-chlorophenyl)methyl]-2-[(3aR)-2,3,3a,4,6,7-hexahydro-2-methyl-3-oxo-3a-(phenylmethyl)-5H-pyrazolo[4,3-c]pyridin-5-yl]-2-oxoethyl]-1,2,3,4-tetrahydro-, (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 384345-21-5 CAPLUS

CN 3-Isoquinolinecarboxamide, N-[(1R)-1-[(4-chlorophenyl)methyl]-2-[(3aR)-2,3,3a,4,6,7-hexahydro-2-methyl-3-oxo-3a-(phenylmethyl)-5H-pyrazolo[4,3-c]pyridin-5-yl]-2-oxoethyl]-1,2,3,4-tetrahydro-, (3R)- (9CI) (CA INDEX NAME)

RN 384345-22-6 CAPLUS

CN 3-Isoquinolinecarboxamide, N-[(1R)-1-[(4-chlorophenyl)methyl]-2[2,3,3a,4,6,7-hexahydro-3-oxo-3a-(phenylmethyl)-2-(2,2,2-trifluoroethyl)5H-pyrazolo[4,3-c]pyridin-5-yl]-2-oxoethyl]-1,2,3,4-tetrahydro-, (3R)(9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 384345-23-7 CAPLUS

CN 3-Isoquinolinecarboxamide, N-[(1R)-1-[(4-chlorophenyl)methyl]-2-[(3aS)-2-ethyl-3a-[(4-fluorophenyl)methyl]-2,3,3a,4,6,7-hexahydro-3-oxo-5H-pyrazolo[4,3-c]pyridin-5-yl]-2-oxoethyl]-1,2,3,4-tetrahydro-, (3R)- (9CI) (CA INDEX NAME)

RN 384345-24-8 CAPLUS

CN 3-Isoquinolinecarboxamide, N-[(1R)-1-[(4-chlorophenyl)methyl]-2-[(3aS)-2-ethyl-3a-[(4-fluorophenyl)methyl]-2,3,3a,4,6,7-hexahydro-3-oxo-5H-pyrazolo[4,3-c]pyridin-5-yl]-2-oxoethyl]-1,2,3,4-tetrahydro-, (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 384345-25-9 CAPLUS

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Absolute stereochemistry.

RN 384345-26-0 CAPLUS

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Absolute stereochemistry.

RN 384345-28-2 CAPLUS

CN 3-Isoquinolinecarboxamide, N-[(1R)-1-[(4-chlorophenyl)methyl]-2-[(3aR)-3a-[(3-fluorophenyl)methyl]-2,3;3a,4,6,7-hexahydro-3-oxo-5H-pyrazolo[4,3-c]pyridin-5-yl]-2-oxoethyl]-1,2,3,4-tetrahydro-, (3R)- (9CI) (CA INDEX NAME)

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CN 3-Isoquinolinecarboxamide, N-[(1R)-1-[(4-chlorophenyl)methyl]-2[2,3,3a,4,6,7-hexahydro-3-oxo-3a-(phenylmethyl)-2-(2,2,2-trifluoroethyl)5H-pyrazolo[4,3-c]pyridin-5-yl]-2-oxoethyl]-1,2,3,4-tetrahydro-, (3S)(9CI) (CA INDEX NAME)

Page 13

Absolute stereochemistry.

RN 384345-30-6 CAPLUS

CN 3-Isoquinolinecarboxamide, N-[(1R)-1-[(4-chlorophenyl)methyl]-2-[2,3,3a,4,6,7-hexahydro-3-oxo-3a-(2-pyridinylmethyl)-5H-pyrazolo[4,3-c]pyridin-5-yl]-2-oxoethyl]-1,2,3,4-tetrahydro-, (3R)- (9CI) (CA INDEX NAME)

GΙ

Compds. represented by formula HET-COCR3R4-NX4-CO(CR6R7)m-D [I; wherein m AΒ = 0, 1 or 2; HET = heterocyclyl; R3, R4 = H,, C1-8 alkyl, CH(R8)-aryl, -CH(R8)-heteroaryl, -C0-3 alkyl-C3-8 cycloalkyl (wherein the aryl or heteroaryl groups are optionally substituted by one or two groups; R8 = H, C1-8 alkyl, -C0-3 alkylaryl, -C0-3 alkylheteroaryl, -C3-6 cycloalkyl); R6, R7 = H, C1-6 alkyl, -C0-3 alkyl-aryl, -C0-3 alkyl-heteroaryl, -C0-3alkyl-C3-8 cycloalkyl; or R6 and R7 together with the nitrogen atom to which they are attached form a 5- or 6-membered ring optionally containing an addnl. heteroatom selected from O, S, NR3; D = -C0-6 alkylamino-C(:NR7)-NR15R16, -C0-6 alkylaminopyridyl, -C0-6 alkylaminoimidazolyl, -C0-6 alkylaminothiazolyl, -C0-6 alkylaminopyrimidinyl, -C0-6 alkylaminopiperazinyl-R15, -C0-6 alkylmorpholinyl, etc. (wherein R15, R16 = H, -C1-6 alkyl, -C0-3 alkylaryl, -C0-3 alkylheteroaryl, or -C0-3 alkyl-C3-8 cycloalkyl, wherein the alkyl and aryl groups are optionally substituted with one or two groups); X4 = H or C1-6 alkyl or X4 is taken together with R4 and the nitrogen atom to which X4 is attached and the carbon atom to which R4 is attached and form a five to seven membered ring] are prepared Melanocortins are peptides derived from pro-opiomelanocortins (POMC) that bind to and activate G-protein coupled receptors (GPCR's) of the melanocortin receptor family and regulate a diverse number of physiol. processes including food intake., metabolism, and

thermogenesis as well as sexual dysfunction. These compds. I are useful for the treatment or prevention of disorders, diseases, or conditions responsive to the activation of melanocortin receptor including obesity, diabetes mellitus, male or female sexual dysfunction, erectile dysfunction, or disorders that cause reduction in appetite, or feeding behavior and/or body weight; for modulating appetite and metabolic rates; for acutely stimulating the appetite for the treatment of hepatic lipidosis, cachexia, and other pathologies resulting in/from inappropriate food intake and weight loss; for acutely stimulating the appetite of livestock for the treatment of ketosis, postpartum anestrus, and other metabolic and reproductive pathologies resulting in/from inappropriate food intake and weight loss; and for enhancing growth and survivability of neonates in livestock. Thus, esterification of N-Boc-L-Tic-OH with N-hydroxysuccinimide using Et3N and EDC in CH2Cl2 at room temperature for 4 h gave 3,4-Dihydro-1H-isoquinoline-2,3-(S)-dicarboxylic acid 2-tert-Bu ester 3-(2,5-dioxopyrrolidin-1-yl) ester which was condensed with D-p-chlorophenylalanine in the presence of Et3N in CH2Cl2 at room temperature overnight to give 3-(S)-[(R)-1-Carboxy-2-(4-chlorophenyl)ethylcarbamoyl]-3,4-dihydro-1H-isoquinoline-2-carboxylic acid tert-Bu ester. The latter compound was further condensed with 8a-(Pyridin-2-ylmethyl)-2-(2,2,2trifluoroethyl)tetrahydroimidazo[1,5-a]pyrazine-1,3-dione using Et3N and EDC in CH2Cl2 at 0° for 5 h to give (S)-3-[(R)-1-(4-Chlorobenzyl)-2-[1,3-dioxo-8a-(pyridin-2-ylmethyl)-2-(2,2,2-trifluoroethyl)hexahydroimidaz o[1,5-a]pyrazin-7-yl]-2-oxoethylcarbamoyl]-3,4-dihydro-1H-isoquinoline-2carboxylic acid tert-Bu ester which was treated with a mixture of EtOH and concentrated HCl at 0° for 0.5 h to give (S)-1,2,3,4-Tetrahydroisoquinoline-3-carboxylic acid N-[(R)-1-(4-chlorobenzyl)-2-[1,3dioxo-8a-(pyridin-2-ylmethyl)-2-(2,2,2-trifluoroethyl)hexahydroimidazo[1,5a]pyrazin-7-yl]-2-oxoethyl]amide (II) hydrochloride which may be considered as a dipeptide analog hepterocycle amide, N-[N-(L-1,2,3,4-Tetrahydroisoquinoline-3-carbonyl)-D-p-chlorophenylalanyl]-1,3-dioxo-8a-(pyridin-2-ylmethyl)-2-(2,2,2-trifluoroethyl)hexahydroimidazo[1,5a]pyrazine.

RE.CNT 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

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ANSWER 2 OF 3 CAPLUS COPYRIGHT 2004 ACS on STN
L3
     2001:885763 CAPLUS
ΑN
DN
     136:15253
     Melanocortin receptor agonists, and preparation thereof, for therapeutic
ΤI
IN
     Bakshi, Raman Kumar; Nargund, Ravi P.; Ye, Zhixiong
PΑ
     Merck & Co., Inc., USA
     PCT Int. Appl., 59 pp.
SO
     CODEN: PIXXD2
DT
     Patent
LA
     English
FAN.CNT 1
     PATENT NO.
                            KIND
                                    DATE
                                                  APPLICATION NO.
                                                  ______
                                               WO 2001-US17014
                                                                            20010525
PΙ
     WO 2001091752
                            A1
                                   20011206
          W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,
              CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,
              GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO,
              RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
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RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF,

Patel <8/25/2004>

BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG US 2000-207918P P 20000530 EP 2001-939460 Α1 20030312 20010525 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR P 20000530 US 2000-207918P 20010525 WO 2001-US17014 Т2 20031118 20010525 JP 2003534377 JP 2001-587767 20000530 US 2000-207918P WO 2001-US17014 20010525 **A1** 20020110 20010529 US 2002004512 US 2001-867309 **R2** 20020423 US 6376509 P 20000530 US 2000-207918P

OS MARPAT 136:15253

IT 378741-82-3P 379266-73-6DP, isomers 379266-73-6P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES

(Uses) (melanocortin receptor agonist preparation for therapeutic use)

RN 378741-82-3 CAPLUS

CN 3-Isoquinolinecarboxamide, N-[(1R)-1-[(4-chlorophenyl)methyl]-2[2,3,3a,4,6,7-hexahydro-2-methyl-3-oxo-3a-(phenylmethyl)-5H-pyrazolo[4,3-c]pyridin-5-yl]-2-oxoethyl]-1,2,3,4-tetrahydro-, (3R)-,
mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 378741-76-5 CMF C33 H34 Cl N5 O3

Absolute stereochemistry.

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 379266-73-6 CAPLUS

CN 2-Naphthalenecarboxamide, 1-amino-N-[(1R)-1-[(4-chlorophenyl)methyl]-2-[2,3,3a,4,6,7-hexahydro-2-methyl-3-oxo-3a-(phenylmethyl)-5H-pyrazolo[4,3-c]pyridin-5-yl]-2-oxoethyl]-1,2,3,4-tetrahydro-, monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

HCl

RN 379266-73-6 CAPLUS

CN 2-Naphthalenecarboxamide, 1-amino-N-[(1R)-1-[(4-chlorophenyl)methyl]-2[2,3,3a,4,6,7-hexahydro-2-methyl-3-oxo-3a-(phenylmethyl)-5H-pyrazolo[4,3c]pyridin-5-yl]-2-oxoethyl]-1,2,3,4-tetrahydro-, monohydrochloride (9CI)
(CA INDEX NAME)

Absolute stereochemistry.

HCl

IT 378741-76-5 379266-96-3

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(melanocortin receptor agonist preparation for therapeutic use)

RN 378741-76-5 CAPLUS

CN 3-Isoquinolinecarboxamide, N-[(1R)-1-[(4-chlorophenyl)methyl]-2-[2,3,3a,4,6,7-hexahydro-2-methyl-3-oxo-3a-(phenylmethyl)-5H-pyrazolo[4,3-

c]pyridin-5-yl]-2-oxoethyl]-1,2,3,4-tetrahydro-, (3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 379266-96-3 CAPLUS

CN 2-Naphthalenecarboxamide, 1-amino-N-[(1R)-1-[(4-chlorophenyl)methyl]-2-[2,3,3a,4,6,7-hexahydro-2-methyl-3-oxo-3a-(phenylmethyl)-5H-pyrazolo[4,3-c]pyridin-5-yl]-2-oxoethyl]-1,2,3,4-tetrahydro-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

IT 379266-72-5DP, isomers 379266-72-5P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation and reaction; melanocortin receptor agonist preparation for therapeutic use)

RN 379266-72-5 CAPLUS

CN Carbamic acid, [2-[[[(1R)-1-[(4-chlorophenyl)methyl]-2-[2,3,3a,4,6,7-hexahydro-2-methyl-3-oxo-3a-(phenylmethyl)-5H-pyrazolo[4,3-c]pyridin-5-yl]-2-oxoethyl]amino]carbonyl]-1,2,3,4-tetrahydro-1-naphthalenyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

RN 379266-72-5 CAPLUS

CN Carbamic acid, [2-[[(1R)-1-[(4-chlorophenyl)methyl]-2-[2,3,3a,4,6,7-hexahydro-2-methyl-3-oxo-3a-(phenylmethyl)-5H-pyrazolo[4,3-c]pyridin-5-yl]-2-oxoethyl]amino]carbonyl]-1,2,3,4-tetrahydro-1-naphthalenyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Ι

GI

The invention discloses compds. and derivs. thereof which are agonists of the human melanocortin receptor(s) and, in particular, are selective agonists of the human melanocortin-4 receptor (MC-4R). They are therefore useful for the treatment, control, or prevention of diseases and disorders responsive to the activation of MC-4R, e.g. obesity, diabetes, sexual dysfunction, including erectile dysfunction and female sexual dysfunction. Preparation of e.g. I is described.

RE.CNT 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 3 OF 3 CAPLUS COPYRIGHT 2004 ACS on STN

AN 2000:151487 CAPLUS

DN 132:203148

- TI Heterocycle-containing dipeptide compounds as growth hormone secretagogues, their preparation, compositions containing them, and their applications
- IN Carpino, Philip Albert
- PA Pfizer Products Inc., USA
- SO Jpn. Kokai Tokkyo Koho, 94 pp.

CODEN: JKXXAF

DT Patent

LA Japanese

FAN.CNT 1

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	PATENT NO.						DATE			APPLICATION NO.								
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ΡI	JP 2000072771				A2		20000307		JI	5	1999-	2347	04			19990	820	
	JP	3486	137			B2		2004	0113									
											S 1998-97502P				P			
	US	6358951			B1		20020319		US	3	1999-	3773	26			19990		
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OS MARPAT 132:203148

TT 260357-81-1 260357-82-2 260357-83-3 260357-84-4 260357-85-5 260357-86-6

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(preparation of heterocycle-containing amide compds. as growth hormone secretagogues and their applications)

RN 260357-81-1 CAPLUS

CN Benzamide, 3-(aminomethyl)-N-[2-[2,3,3a,4,6,7-hexahydro-2-methyl-3-oxo-3a-(phenylmethyl)-5H-pyrazolo[4,3-c]pyridin-5-yl]-2-oxo-1[(phenylmethoxy)methyl]ethyl]- (9CI) (CA INDEX NAME)

Page 21

RN 260357-82-2 CAPLUS

CN Benzamide, 3-(1-aminoethyl)-N-[2-[2,3,3a,4,6,7-hexahydro-2-methyl-3-oxo-3a-(phenylmethyl)-5H-pyrazolo[4,3-c]pyridin-5-yl]-2-oxo-1[(phenylmethoxy)methyl]ethyl]- (9CI) (CA INDEX NAME)

RN 260357-83-3 CAPLUS

CN Benzamide, 3-(1-amino-1-methylethyl)-N-[2-[2,3,3a,4,6,7-hexahydro-2-methyl-3-oxo-3a-(phenylmethyl)-5H-pyrazolo[4,3-c]pyridin-5-yl]-2-oxo-1[(phenylmethoxy)methyl]ethyl]- (9CI) (CA INDEX NAME)

RN 260357-84-4 CAPLUS

CN Benzamide, 3-(1-amino-1-methylethyl)-N-[2-[2,3,3a,4,6,7-hexahydro-3-oxo-3a-(phenylmethyl)-5H-pyrazolo[4,3-c]pyridin-5-yl]-2-oxo-1[(phenylmethoxy)methyl]ethyl]- (9CI) (CA INDEX NAME)

RN 260357-85-5 CAPLUS

CN Benzamide, 3-(1-amino-1-methylethyl)-N-[2-[2,3,3a,4,6,7-hexahydro-2-methyl-3-oxo-3a-(phenylmethyl)-5H-pyrazolo[4,3-c]pyridin-5-yl]-1-(1H-indol-3-ylmethyl)-2-oxoethyl]- (9CI) (CA INDEX NAME)

RN 260357-86-6 CAPLUS

CN Benzamide, 3-(1-amino-1-methylethyl)-N-[1-[[(2,4-difluorophenyl)methoxy]methyl]-2-[2,3,3a,4,6,7-hexahydro-3-oxo-3a-(2-pyridinylmethyl)-2-(2,2,2-trifluoroethyl)-5H-pyrazolo[4,3-c]pyridin-5-yl]-2-oxoethyl]- (9CI) (CA INDEX NAME)

GΙ

 Q^2

Q3

$$G^{2}$$
 G^{3}
 G^{2}
 G^{3}
 G^{1}
 G^{2}
 G^{1}
 G^{1

$$\begin{array}{c|c}
R^1 & N & d \\
N & N & e \\
R^2 & O & Q^4
\end{array}$$

AΒ HET-COCR3R4NX4COR6NR7R8 [I; HET = heterocyclyl Q, Q1, Q2, Q3, Q4 (definitions for variants are given); R3 = certain (un) substituted ring systems (A1), alkyl, A1-alkyl, etc.; R4 = H, alkyl, cycloalkyl or CR3R4 = a ring system; X4 = H, alkyl, or X4 and R4 form a ring; R6 = linking group containing O, S, CH:CH (hetero)arylene; R7, R8 = H, (un)substituted alkyl or R7R8N forms a ring], mixts. of their stereoisomers, diastereomerically or enantiomerically pure isomers, their pharmaceutically acceptable salts, or their prodrugs are claimed. I are growth hormone secretagogues and are useful for increasing the level of endogenous growth hormone, treating musculoskeletal fragility such as osteoporosis in combination with selective estrogen receptor modulators, treating insulin resistance, enhancing milk production, promoting piglet growth, etc. (preparation given) showed dose-related lowering of plasma glucose and/or insulin levels when administered to female rat of three months, which is consistent with an improvement in glycemic control and insulin sensitivity. The treatment was also associated with trends for decreased plasma lactate, cholesterol, and triglyceride levels, which is also consistent with an improvement in lipid profile and metabolic control as a result of improved insulin sensitivity incurred by this treatment.

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COST IN U.S. DOLLARS	SINCE FILE	TOTAL		
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FULL ESTIMATED COST	17.90	173.53		
DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE	TOTAL		
	ENTRY	SESSION		
CA SUBSCRIBER PRICE	-2.10	-2.10		